

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

REC'D 24 NOV 2003

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Applicant's or agent's file reference JBV/P32976	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/APEA/416)	
International application No. PCT/EP03/00823	International filing date (day/month/year) 27.01.2003	Priority date (day/month/year) 29.01.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/04		
Applicant GLAXO GROUP LIMITED et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I Basis of the opinion
- II Priority
- III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV Lack of unity of invention
- V Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI Certain documents cited
- VII Certain defects in the international application
- VIII Certain observations on the international application

Date of submission of the demand 12.08.2003	Date of completion of this report 21.11.2003
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Ousset, J-B Telephone No. +49 89 2399-8271



**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP03/00823

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-81 as originally filed

Claims, Numbers

1-17 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
 - the entire international application,
 - claims Nos. 11
 - because:
 - the said international application, or the said claims Nos. 11 relate to the following subject matter which does not require an international preliminary examination (specify):
see separate sheet
 - the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
 - the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
 - no international search report has been established for the said claims Nos.
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
 - the written form has not been furnished or does not comply with the Standard.
 - the computer readable form has not been furnished or does not comply with the Standard.

IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:
 - restricted the claims.
 - paid additional fees.
 - paid additional fees under protest.
 - neither restricted nor paid additional fees.
2. This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is
 - complied with.
 - not complied with for the following reasons:
4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

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all parts.
 the parts relating to claims Nos. 1-10,12-17 (all partially) .

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes:	Claims	1-10,12-17
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-10,12-17
Industrial applicability (IA)	Yes:	Claims	1-10,12-17
	No:	Claims	

2. Citations and explanations

see separate sheet

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SECTION III

- 1). Claim 11 relates to the treatment of human and/or animal bodies. According to Rule 67(1)(iv) an examination is not required for such claims.

SECTION IV

- 2). The applicant has limited the scope of the claims in that the group R₃ has been limited to a single value. Since this value for this group is common to all the claimed alternatives and not mentioned in the prior art, unity of invention is acknowledged.

SECTION V

- 3). Relevant prior art is represented by:

D1: WO 01 07432 A (SMITHKLINE BEECHAM) 1 February 2001 (2001-02-01)
D2: WO 97 28167 A (ORTHO PHARMA CORP) 7 August 1997 (1997-08-07)
D3: WO 02 08224 A (SMITHKLINE BEECHAM) 31 January 2002 (2002-01-31)
D4: WO 02 056882 A (SMITHKLINE BEECHAM) 25 July 2002 (2002-07-25)

- 4). In none of these documents, the piperidinyl group is substituted by a fluorine atom, therefore, novelty of invention is acknowledged.

- 5). D1 represents the closest prior art and differs from the teaching of the present application in that the piperidinyl group is substituted by a fluorine atom.

Hence, the problem underlying the current application appears to be the provision of further polycyclic compounds which having antibacterial properties.

Based on the data provided on page 81 of the description, the problem underlying the present application is regarded as being solved.

There is nothing in the prior art which could give the skilled person a hint to replace one of the several possible substituents on the piperidinyl ring by a fluorine atom to arrive at the claimed compounds and thereby retaining the claimed activity.

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However, an inventive step on the whole claimed scope cannot be acknowledged, due to the presence in the claims of unlimited terms (see heterocyclicthio, arylthio, aryloxy, optionally substituted..) and derivatives thereof which lead to an unlimited number of compounds which inherently cannot represent a solution to the given problem.

Inventive step is not acknowledged.

6). There is no objection with regard to industrial applicability.